

**Translation**

**PATENT COOPERATION TREATY**

PCT/JP2003/016131



**PCT**

**INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY**  
(Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference H13F1269	FOR FURTHER ACTION See Form PCT/IPEA/416	
International application No. PCT/JP2003/016131	International filing date (day/month/year) 16 December 2003 (16.12.2003)	Priority date (day/month/year) 17 December 2002 (17.12.2002)
International Patent Classification (IPC) or national classification and IPC C07D 251/10, A61K 31/53, A61P 17/00, 31/04		
Applicant HAMARI CHEMICALS, LTD.		

1. This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.

2. This REPORT consists of a total of 8 sheets, including this cover sheet.

3. This report is also accompanied by ANNEXES, comprising:

a. ☐ (sent to the applicant and to the International Bureau) a total of \_\_\_\_\_ sheets, as follows:

☐ sheets of the description, claims and/or drawings which have been amended and are the basis of this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions).

☐ sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.

b. ☐ (sent to the International Bureau only) a total of \_\_\_\_\_, containing a sequence listing and/or tables related thereto, in computer readable form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions).

4. This report contains indications relating to the following items:

☒ Box No. I Basis of the report

☐ Box No. II Priority

☒ Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

☒ Box No. IV Lack of unity of invention

☒ Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

☐ Box No. VI Certain documents cited

☐ Box No. VII Certain defects in the international application

☒ Box No. VIII Certain observations on the international application

Date of submission of the demand 24 May 2004 (24.05.2004)	Date of completion of this report 18 October 2004 (18.10.2004)
Name and mailing address of the IPEA/JP	Authorized officer
Facsimile No.	Telephone No.

## INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.

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## Box No. I Basis of the report

1. With regard to the language, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.

- ☐ This report is based on translations from the original language into the following language \_\_\_\_\_, which is language of a translation furnished for the purpose of:
- ☐ international search (under Rules 12.3 and 23.1(b))
  - ☐ publication of the international application (under Rule 12.4)
  - ☐ international preliminary examination (under Rules 55.2 and/or 55.3)

2. With regard to the elements of the international application, this report is based on *(replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report)*:

- ☒ The international application as originally filed/furnished

- ☐ the description:

pages \_\_\_\_\_, as originally filed/furnished

pages\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_

pages\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_

- ☐ the claims:

pages \_\_\_\_\_, as originally filed/furnished

pages\* \_\_\_\_\_, as amended (together with any statement) under Article 19

pages\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_

pages\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_

- ☐ the drawings:

pages \_\_\_\_\_, as originally filed/furnished

pages\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_

pages\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_

- ☐ a sequence listing and/or any related table(s) – see Supplemental Box Relating to Sequence Listing.

3. ☐ The amendments have resulted in the cancellation of:

☐ the description, pages \_\_\_\_\_

☐ the claims, Nos. \_\_\_\_\_

☐ the drawings, sheets/figs \_\_\_\_\_

☐ the sequence listing (*specify*): \_\_\_\_\_

☐ any table(s) related to sequence listing (*specify*): \_\_\_\_\_

4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).

☐ the description, pages \_\_\_\_\_

☐ the claims, Nos. \_\_\_\_\_

☐ the drawings, sheets/figs \_\_\_\_\_

☐ the sequence listing (*specify*): \_\_\_\_\_

☐ any table(s) related to sequence listing (*specify*): \_\_\_\_\_

\* If item 4 applies, some or all of those sheets may be marked "superseded."

# INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

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## Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non obvious), or to be industrially applicable have not been examined in respect of:

☐ the entire international application.

☒ claim No. 12

because:

☒ the said international application, or the said claim No. 12 relate to the following subject matter which does not require an international preliminary examination (*specify*):

The subject matter of claim 12 pertains to a method of treatment of the human body by surgery or therapy, which does not require an international preliminary examination by the International Preliminary Examination Authority.

☐ the description, claims or drawings (*indicate particular elements below*) or said claims Nos. \_\_\_\_\_ are so unclear that no meaningful opinion could be formed (*specify*):

☐ the claims, or said claims Nos. \_\_\_\_\_ are so inadequately supported by the description that no meaningful opinion could be formed.

☒ no international search report has been established for said claim No. 12.

☐ the nucleotide and/or amino acid sequence listing does not comply with the standard provided for in Annex C of the Administrative Instructions in that:

the written form

☐ has not been furnished

☐ does not comply with the standard

the computer readable form

☐ has not been furnished

☐ does not comply with the standard

☐ the tables related to the nucleotide and/or amino acid sequence listing, if in computer readable form only, do not comply with the technical requirements provided for in Annex C-bis of the Administrative Instructions.

☐ see Supplemental Box for further details.

# INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

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## Box No. IV Lack of unity of invention

1. ☐ In response to the invitation to restrict or pay additional fees the applicant has:
  - ☐ restricted the claims.
  - ☐ paid additional fees.
  - ☐ paid additional fees under protest.
  - ☐ neither restricted nor paid additional fees.
2. ☒ This Authority found that the requirement of unity of invention is not complied with and chose, according to Rule 68.1, not to invite the applicant to restrict or pay additional fees.
3. This Authority considers that the requirement of unity of invention in accordance with Rules 13.1, 13.2 and 13.3 is
  - ☐ complied with.
  - ☒ not complied with for the following reasons:

Because the compound represented by General Formula (1) described in claim 1 having an anti-bacterial action is publicly known, as can be seen in the documents cited in the ISR (WO, 99/01442, A1, etc.), this examination does not find this point to be a technical feature that contributes to the prior art.

Furthermore, because the chemical structure common to the compound groups described in claims 1-9 is publicly known, as can be seen in the documents cited in the ISR (JP, 45-041591, A, etc.), this examination finds that this chemical structure cannot be an important chemical structure element.

Therefore, because the subject matter of claims 1-11 and 13 does not appear to share a common special technical feature, this examination finds that these groups of inventions are not so linked as to form a single general inventive concept.

4. Consequently, this report has been established in respect of the following parts of the international application:

☐ all parts.

☒ the parts relating to claims Nos. 1-11, 13

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**Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement****1. Statement**

Novelty (N)

Claims

2, 5, 8, 11

YES

Claims

1, 3, 4, 6, 7, 9, 10, 13

NO

Inventive step (IS)

Claims

YES

Claims

1-11, 13

NO

Industrial applicability (IA)

Claims

1-11, 13

YES

Claims

NO

**2. Citations and explanations (Rule 70.7)****(Documents)**

1. WO, 99/01442, A1 (Zeneca Limited), 14 January, 1999
2. European Journal of Medicinal Chemistry (1977), Vol. 12, No. 6, pages 495-500
3. Antimicrobial Agents and Chemotherapy (1995), Vol. 39, No. 11, pages 2436-41
4. Antimicrobial Agents and Chemotherapy (1993), Vol. 37, No. 9, pages 1914-1923
5. Pharmazie (1991), Vol. 46, No. 3, pages 182-184
6. Pakistan Journal of Scientific and Industrial Research (1988), Vol. 31, No. 7, pages 474-476
7. Journal of Medicinal Chemistry (1985), Vol. 28, No. 12, pages 1910-1916
8. Arzneimittel-Forschung (1981), Vol. 31, No. 7, pages 1053-1058
9. Journal of Medicinal Chemistry (1977), Vol. 20, No.2, pages 237-243
10. Journal of Medicinal Chemistry (1967), Vol. 10, No. 5, pages 912-917
11. JP, 45-041591, B (Mitsubishi Chemical Industries Ltd.), 26 December, 1970
12. Nippon Kagaku Kaishi (1974), No. 12, pages 2419-2424
13. Antimicrobial Agents and Chemotherapy (1995), Vol. 39, No. 1, pages 79-86
14. WO, 00/32580, A2 (Nihon Bayer Agrochem K.K.), 08 June, 2000
15. US, 3563988, A (Ruhchemie Aktiengesellschaft), 16 February, 1971
16. US, 3287366, A (American Cyanamid Company), 22 November, 1966
17. US, 5565451, A (FMC Corporation), 15 October, 1996
18. Journal of Medicinal Chemistry (1985), Vol. 28, No. 11, pages 1728-40

(Continued)

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**Box No. VIII Certain observations on the international application**

The following observations on the clarity of the claims, description, and drawings or on the question whether the claims are fully supported by the description, are made:

The subject matter of claims 3-9 includes an extremely large number of compounds. However, this examination finds that only very few claimed compounds are disclosed in the sense of PCT Article 5, and are fully supported in the sense of PCT Article 6.

Therefore, an opinion is rendered concerning the part of the claimed compounds disclosed in and fully supported by the specification; namely, the compounds of claim 9 wherein neither  $R_3$  nor  $R_4$  is a hydrogen atom.

## Supplemental Box

In case the space in any of the preceding boxes is not sufficient.

Continuation of Box V:

(Explanation)

Claims 1, 10 and 13

The inventions described in claims 1, 10, and 13 do not appear to be novel or to involve an inventive step based on documents 1-10 cited in the ISR. Documents 1-10 disclose the compound represented by General Formula (1) described in claim 1 having antibacterial action.

Claim 2

None of the documents cited in the ISR discloses the invention relating to claim 2, and thus it appears to be novel; however, it does not appear to involve an inventive step based on document 1 cited in the ISR. Appropriately converting the substituent in the compound having the antibacterial action described in document 1 and confirming its antibacterial action can be easily conceived of by a party skilled in the art.

Claims 3 and 4

The inventions described in claims 3 and 4 do not appear to be novel or to involve an inventive step based on document 11 cited in the ISR. Document 11 discloses the compound described in claims 3 and 4.

Furthermore, the inventions relating to claims 3 and 4 do not appear to involve an inventive step based on document 1 cited in the ISR. Appropriately converting the substituent in the compound having antibacterial action described in document 1 and confirming its antibacterial action can be easily conceived of by a party skilled in the art.

Claims 5 and 8

The inventions relating to claims 5 and 8 are not disclosed in any of the documents cited in the ISR and they appear to be novel; however, they do not appear to involve an inventive step based on document 1 cited in the ISR. Appropriately converting the substituent in the compound having antibacterial action described in document 1 and confirming its antibacterial action can be easily conceived of by a party skilled in the art.

(Continued)

## Supplemental Box

In case the space in any of the preceding boxes is not sufficient.  
Continuation of Box V:

Claims 6 and 7

The inventions described in claims 6 and 7 do not appear to be novel or to involve an inventive step based on documents 12-16 cited in the ISR. Documents 12-16 disclose the compound represented by General Formula (1b) described in claim 6, document 12 describes the compound described in claims 6 and 7, and documents 13-16 describe the compound described in claim 6.

Furthermore, the inventions relating to claims 6 and 7 do not appear to involve an inventive step based on document 1 cited in the ISR. Appropriately converting the substituent in the compound having antibacterial action described in document 1 and confirming its antibacterial action can be easily conceived of by a party skilled in the art.

Claim 9

The invention described in claim 9 does not appear to be novel or to involve an inventive step based on documents 17-18 cited in the ISR. Documents 17 and 18 disclose the compound described in claim 9.

Furthermore, the invention described in claim 9 does not appear to involve an inventive step based on document 1 cited in the ISR. Appropriately converting the substituent in the compound having antibacterial action described in document 1 and confirming its antibacterial action can be easily conceived of by a party skilled in the art.

Claim 11

The invention relating to claim 11 is not disclosed in any of the documents cited in the ISR and it appears to be novel; however, it does not appear to involve an inventive step based on documents 1-10 cited in the ISR. Documents 1-10 disclose the compound represented by General Formula (1) described in claim 1 having antibacterial action; and using it as antiseptic/preservative agent for a cosmetic can be easily achieved by a party skilled in the art.